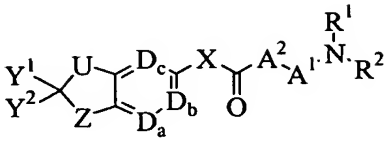
WHAT IS CLAIMED IS:

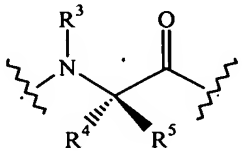
1. A compound of the formula:



wherein

R^1 and R^2 are each members independently selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$heteroalkyl, aryl, heteroaryl, aryl$(C_1\text{-}C_8)$alkyl, aryl$(C_1\text{-}C_8)$heteroalkyl, heteroaryl$(C_1\text{-}C_8)$alkyl, and heteroaryl$(C_1\text{-}C_8)$heteroalkyl, with the proviso that at least one of R^1 and R^2 is selected from the group consisting of aryl, heteroaryl, aryl$(C_1\text{-}C_8)$alkyl, aryl$(C_1\text{-}C_8)$heteroalkyl, heteroaryl$(C_1\text{-}C_8)$alkyl and heteroaryl$(C_1\text{-}C_8)$heteroalkyl;

A^1 is a member selected from the group consisting of L-α-amino acid fragments, D-α-amino acid fragments and fragments having the formula:

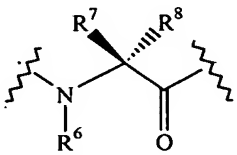


wherein

R^3 is selected from the group consisting of hydrogen and $(C_1\text{-}C_4)$ alkyl;

R^4 and R^5 are each members independently selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$alkyl and $(C_1\text{-}C_8)$heteroalkyl, or can be individually combined with R^3 to form a 5-, 6-, 7- or 8-membered ring containing from one to three heteroatoms;

A^2 is a member selected from the group consisting of L-α-amino acid fragments, D-α-amino acid fragments and fragments having the formula:



wherein

R^6 is selected from the group consisting of hydrogen and $(C_1\text{-}C_4)$alkyl;

R^7 and R^8 are each members independently selected from the group

consisting of hydrogen, $(C_1\text{-}C_8)$alkyl and $(C_1\text{-}C_8)$heteroalkyl, or can be combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to three heteroatoms;

X is a member selected from the group consisting of a bond, a $(C_1\text{-}C_4)$ saturated or unsaturated alkylene linking group and a $(C_1\text{-}C_4)$ saturated or unsaturated heteroalkylene linking group;

D_a, D_b and D_c are each independently selected from the group consisting of =N- and $=C(R^9)$-

wherein

each R^9 is independently selected from the group consisting of hydrogen, halogen, cyano, nitro, $(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$heteroalkyl, $(C_1\text{-}C_6)$alkoxy, $(C_1\text{-}C_6)$thioalkoxy, $-NR^{10}R^{11}$, $-C(O)OR^{10}$, $-C(O)NR^{10}R^{11}$, $-O\text{-}C(O)OR^{10}$, $-NR^{11}\text{-}C(O)OR^{10}$, $-NR^{10}\text{-}SO_2R^{12}$, $-NR^{10}\text{-}C(O)R^{11}$, $-SO_2NR^{10}R^{11}$, and $-OC(O)NR^{10}R^{11}$;

wherein

each R^{10} and R^{11} are each independently a member selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$alkyl and $(C_1\text{-}C_8)$heteroalkyl, or when attached to the same nitrogen atom can be combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to three heteroatoms; and

each R^{12} is independently a member selected from the group consisting of $(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$heteroalkyl, aryl and heteroaryl;

U and Z are each independently selected from the group consisting of a single bond, $-CH_2$-, -CH(OH)-, -C(O)-, $-CH_2O$-, $-CH_2CH_2$-, $-CH_2C(O)$-, -O-, -S-, $-S\text{-}CH_2$-, $-N(C(O)\text{-}(C_1\text{-}C_9)\text{alkyl})$-, $-N(R^{13})$- and $-N(R^{13})\text{-}CH_2$-;

wherein

each R^{13} is a member selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$alkyl, aryl and $(C_1\text{-}C_8)$heteroalkyl;

Y^1 and Y^2 are each independently selected from the group consisting of $-CO_2H$ and $-CO_2R^{14}$; and

R^{14} is a member selected from the group consisting of $(C_1\text{-}C_9)$alkyl, and $(C_1\text{-}C_9)$heteroalkyl, or, alternatively, when Y^1 and Y^2 are each $-CO_2R^{14}$, each R^{14} and the oxygen to which it is attached, join to form a 5-, 6-, 7- or 8-membered heterocyclic ring.

2. The compound of claim 1, wherein D_a, D_b and D_c are each =CH-.

3. The compound of claim 1, wherein X is a (C_2-C_4) unsaturated alkylene linking group.

4. The compound of claim 1, wherein A^1 is selected from the group consisting of L-α-amino acid fragments.

5. The compound of claim 1, wherein A^2 is selected from the group consisting of L-α-amino acid fragments.

6. The compound of claim 1, wherein A^1 and A^2 are each independently selected from the group consisting of L-α-amino acid fragments.

7. The compound of claim 1, wherein A^1 and A^2 are each independently selected from the group consisting of L-α-amino acid fragments; X is a (C_2-C_4) unsaturated alkylene linking group; and D_a, D_b and D_c are each =CH-.

8. The compound of claim 1, wherein U is selected from the group consisting of –CH_2- and –CH(OH)-.

9. The compound of claim 1, wherein Z is selected from the group consisting of –CH_2-, -O-, -NH- and –S-.

10. The compound of claim 1, wherein U is selected from the group consisting of –CH_2- and –CH(OH)-; and Z is selected from the group consisting of -CH_2-, -O-, -NH- and –S-.

11. The compound of claim 1, wherein A^1 and A^2 are each independently selected from the group consisting of a natural or unnatural L-α-amino acid fragments; X is a (C_2-C_4) unsaturated alkylene linking group; D_a, D_b and D_c are each =CH-; U is selected from the group consisting of –CH_2- and –CH(OH)-; and Z is selected from the group consisting of -CH_2-, -O-, -NH- and –S-.

12. The compound of claim 11, wherein X is an unsaturated alkylene moiety selected from the group consisting of -C(CH_3)=CH and -CH=C(CH_3).

13. The compound of claim 1, wherein R^1 and R^2 are each members independently selected from the group consisting of (C_1-C_8)alkyl, aryl and aryl(C_1-C_8)alkyl.

14. The compound of claim **11**, wherein R^1 and R^2 are each members independently selected from the group consisting of $(C_1\text{-}C_8)$alkyl, aryl and aryl$(C_1\text{-}C_8)$alkyl.

15. The compound of claim **1**, wherein R^1 is an optionally substituted phenyl group.

16. The compound of claim **1**, wherein R^1 is an optionally substituted phenyl group and R^2 is an optionally substituted benzyl group.

17. The compound of claim **11**, wherein R^1 is an optionally substituted phenyl group.

18. The compound of claim **11**, wherein R^1 is an optionally substituted phenyl group and R^2 is an optionally substituted benzyl group.

19. The compound of claim **1**, wherein R^1 is an optionally substituted $(C_1\text{-}C_8)$alkyl or $(C_1\text{-}C_8)$heteroalkyl group and R^2 is an optionally substituted phenyl or benzyl group.

20. The compound of claim **1**, wherein R^1 is a phenyl group substituted with up to two members selected from the group consisting of $-NHCONH_2$, $-C(NH)NH_2$, $-CONH_2$, $-CH_2NHCO$-(4-nitro-2-pyrazolyl), -CONHPh, $-CH_2NH_2$, $-CH_2NHCO-CH=CH$-(3-nitrophenyl), $-CH_3$, -Cl, -Br, -I, $-CO_2H$, $-CO_2CH_3$, $-OCH_3$, -OH, -Ph, -OPh, $-CON(CH_3)_2$, $-C(CH_3)_3$, $-CH_2NHAc$, -CN and $-CH_2NHCO-CH=CH$-(4-pyridyl).

21. The compound of claim **11**, wherein R^1 is an optionally substituted $(C_1\text{-}C_8)$alkyl or $(C_1\text{-}C_8)$heteroalkyl group and R^2 is an optionally substituted phenyl or benzyl group.

22. The compound of claim **11**, wherein R^1 is a phenyl group substituted with up to two members selected from the group consisting of $-NHCONH_2$, $-C(NH)NH_2$, $-CONH_2$, $-CH_2NHCO$-(4-nitro-2-pyrazolyl), -CONHPh, $-CH_2NH_2$, $-CH_2NHCO-CH=CH$-(3-nitrophenyl), $-CH_3$, -Cl, -Br, -I, $-CO_2H$, $-CO_2CH_3$, $-OCH_3$, -OH, -Ph, -OPh, $-CON(CH_3)_2$, $-C(CH_3)_3$, $-CH_2NHAc$, -CN and $-CH_2NHCO-CH=CH$-(4-pyridyl).

23. The compound of claim **11**, wherein Z is –O-; R^1 is a member selected from the group consisting of an optionally substituted phenyl group or an optionally

substituted heteroaryl; and R^2 is a member selected from the group consisting of $(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$heteroalkyl, aryl$(C_1\text{-}C_8)$alkyl, aryl$(C_1\text{-}C_8)$heteroalkyl, heteroaryl$(C_1\text{-}C_8)$alkyl and heteroaryl$(C_1\text{-}C_8)$heteroalkyl.

24. The compound of claim **4**, wherein A^1 is an L-α-amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline.

25. The compound of claim **5**, wherein A^2 is an L-α-amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-*tert*-butylglycine.

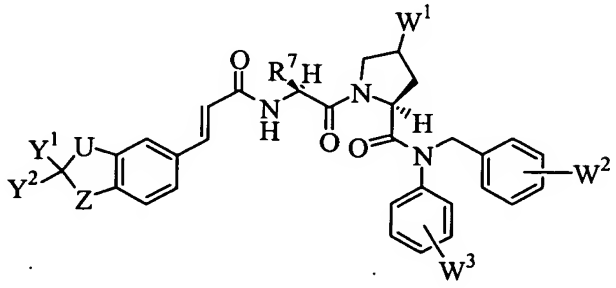
26. The compound of claim **11**, wherein A^1 is an L-α-amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline; and A^2 is an L-α-amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-*tert*-butylglycine.

27. The compound of claim **26**, wherein R^1 and R^2 are each members independently selected from the group consisting of substituted or unsubstituted $(C_1\text{-}C_8)$alkyl, substituted or unsubstituted aryl and substituted or unsubstituted aryl$(C_1\text{-}C_8)$alkyl.

28. The compound of claim **27**, wherein A^1 is an L-α-amino acid fragment derived from L-alanine or L-proline; and A^2 is an L-α-amino acid fragment derived from L-valine, L-leucine, L-isoluecine, or L-*tert*-butylglycine.

29. The compound of claim **27**, wherein A^1 is an L-α-amino acid fragment derived from L-proline; and A^2 is an L-α-amino acid fragment derived from L-*tert*-butylglycine.

30. The compound of claim **1**, having the formula:



wherein

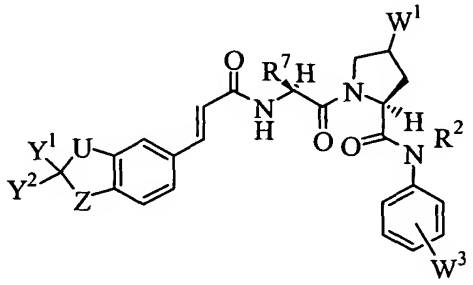
W^1 is a member selected from the group consisting of –H, –OR^{15} and -$NR^{15}R^{16}$;

W^2 and W^3 are each members independently selected from the group consisting of hydrogen, halogen, -R^{17}, -CO_2R^{17}, -OR^{17}, -$NR^{17}R^{18}$ and -$CONR^{17}R^{18}$;

wherein R^{15}, R^{16}, R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8)alkyl, (C_1-C_8)heteroalkyl, aryl(C_1-C_8)alkyl, aryl(C_1-C_8)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH_2-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R^{13})-.

31. The compound of claim **1**, having the formula:



wherein

R^2 is a member selected from the group consisting of substituted or unsubstituted (C_1-C_8)alkyl;

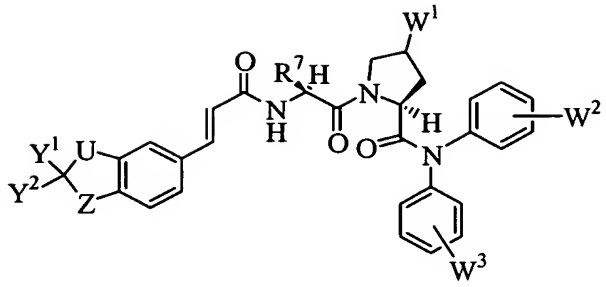
W^1 is a member selected from the group consisting of –H, –OR^{15} and -$NR^{15}R^{16}$;

W^2 is a member selected from the group consisting of hydrogen, halogen, -R^{17}, -CO_2R^{17}, -OR^{17}, -$NR^{17}R^{18}$ and -$CONR^{17}R^{18}$;

wherein R^{15}, R^{16}, R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8)alkyl, (C_1-C_8)heteroalkyl, aryl(C_1-C_8)alkyl, aryl(C_1-C_8)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH_2-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R^{13})-.

32. The compound of claim **1**, having the formula:



wherein

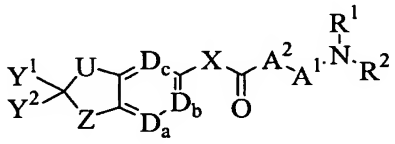
W^1 is a member selected from the group consisting of –H, –OR^{15} and -$NR^{15}R^{16}$;

W^2 and W^3 are each members independently selected from the group consisting of hydrogen, halogen, -R^{17}, -CO_2R^{17}, -OR^{17}, -$NR^{17}R^{18}$ and -$CONR^{17}R^{18}$;

wherein R^{15}, R^{16}, R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8)alkyl, (C_1-C_8)heteroalkyl, aryl(C_1-C_8)alkyl, aryl(C_1-C_8)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH_2-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R^{13})-.

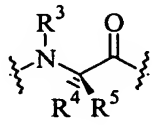
33. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a compound having the formula:



wherein

R^1 and R^2 are each members independently selected from the group consisting of hydrogen, (C_1-C_8)alkyl, (C_1-C_8)heteroalkyl, aryl, heteroaryl, aryl(C_1-C_8)alkyl, aryl(C_1-C_8)heteroalkyl, heteroaryl(C_1-C_8)alkyl, and heteroaryl(C_1-C_8)heteroalkyl, with the proviso that at least one of R^1 and R^2 is selected from the group consisting of aryl, heteroaryl, aryl(C_1-C_8)alkyl, aryl(C_1-C_8)heteroalkyl, heteroaryl(C_1-C_8)alkyl and heteroaryl(C_1-C_8)heteroalkyl;

A^1 is a member selected from the group consisting of L-α-amino acid fragments, D-α-amino acid fragments and fragments having the formula:

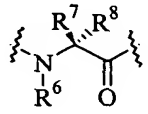


wherein

R^3 is selected from the group consisting of hydrogen and $(C_1\text{-}C_4)$ alkyl;

R^4 and R^5 are each members independently selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$alkyl and $(C_1\text{-}C_8)$heteroalkyl, or can be individually combined with R^3 to form a 5-, 6-, 7- or 8-membered ring containing from one to three heteroatoms;

A^2 is a member selected from the group consisting of L-α-amino acid fragments, D-α-amino acid fragments and fragments having the formula:



wherein

R^6 is selected from the group consisting of hydrogen and $(C_1\text{-}C_4)$alkyl;

R^7 and R^8 are each members independently selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$alkyl and $(C_1\text{-}C_8)$heteroalkyl, or can be combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to three heteroatoms;

X is a member selected from the group consisting of a bond, a $(C_1\text{-}C_4)$ saturated or unsaturated alkylene linking group and a $(C_1\text{-}C_4)$ saturated or unsaturated heteroalkylene linking group;

D_a, D_b and D_c are each independently selected from the group consisting of =N- and $=C(R^9)$-

wherein

each R^9 is independently selected from the group consisting of hydrogen, halogen, cyano, nitro, $(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$heteroalkyl, $(C_1\text{-}C_6)$alkoxy, $(C_1\text{-}C_6)$thioalkoxy, $-NR^{10}R^{11}$, $-C(O)OR^{10}$, $-C(O)NR^{10}R^{11}$, $-O\text{-}C(O)OR^{10}$, $-NR^{11}\text{-}C(O)OR^{10}$, $-NR^{10}\text{-}SO_2R^{12}$, $-NR^{10}\text{-}C(O)R^{11}$, $-SO_2NR^{10}R^{11}$, and $-OC(O)NR^{10}R^{11}$;

wherein

each R^{10} and R^{11} are each independently a member selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$alkyl and $(C_1\text{-}C_8)$heteroalkyl, or when attached to the same nitrogen atom can be combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to three heteroatoms; and

each R^{12} is independently a member selected from the group consisting of $(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$heteroalkyl, aryl and heteroaryl;

U and Z are each independently selected from the group consisting of a single bond, $-CH_2-$, $-CH(OH)-$, $-C(O)-$, $-CH_2O-$, $-CH_2CH_2-$, $-CH_2C(O)-$, $-O-$, $-S-$, $-S-CH_2-$, $-N(C(O)-(C_1-C_9)alkyl)-$, $-N(R^{13})-$ and $-N(R^{13})-CH_2-$;

wherein

R^{13} is a member selected from the group consisting of H, (C_1-C_8)alkyl, aryl and (C_1-C_8)heteroalkyl;

Y^1 and Y^2 are each independently selected from the group consisting of $-CO_2H$ and $-CO_2R^{14}$

wherein

R^{14} is a member selected from the group consisting of (C_1-C_9)alkyl, (C_1-C_9) heteroalkyl, or, alternatively, when Y^1 and Y^2 are each $-CO_2R^{14}$, each R^{14} and the oxygen to which it is attached, join to form a 5-, 6-, 7-, or 8-membered heterocyclic ring.

34. The pharmaceutical composition of claim **33**, wherein D_a, D_b and D_c are each =CH-.

35. The pharmaceutical composition of claim **33**, wherein X is a (C_2-C_4) unsaturated alkylene linking group.

36. The pharmaceutical composition of claim **33**, wherein A^1 is selected from the group consisting of L-α-amino acid fragments.

37. The pharmaceutical composition of claim **33**, wherein A^2 is selected from the group consisting of L-α-amino acid fragments.

38. The pharmaceutical composition of claim **33**, wherein A^1 and A^2 are each independently selected from the group consisting of L-α-amino acid fragments.

39. The pharmaceutical composition of claim **33**, wherein A^1 and A^2 are each independently selected from the group consisting of L-α-amino acid fragments; X is a (C_2-C_4) unsaturated alkylene linking group; and D_a, D_b and D_c are each =CH-.

40. The pharmaceutical composition of claim **33**, wherein U is selected from the group consisting of $-CH_2-$ and $-CH(OH)-$.

41. The pharmaceutical composition of claim **33**, wherein Z is selected from the group consisting of $-CH_2-$, -O-, -NH- and -S-.

42. The pharmaceutical composition of claim **33**, wherein U is selected from the group consisting of $-CH_2-$ and $-CH(OH)-$; and Z is selected from the group consisting of $-CH_2-$, -O-, -NH- and –S-.

43. The pharmaceutical composition of claim **33**, wherein A^1 and A^2 are each independently selected from the group consisting of a natural or unnatural L-α-amino acid fragments; X is a (C_2-C_4) unsaturated alkylene linking group; D_a, D_b and D_c are each =CH-; U is selected from the group consisting of $-CH_2-$ and $-CH(OH)-$; and Z is selected from the group consisting of $-CH_2-$, -O-, -NH- and –S-.

44. The pharmaceutical composition of claim **43**, wherein X is an unsaturated alkylene moiety selected from the group consisting of $-C(CH_3)=CH$ and $-CH=C(CH_3)$.

45. The pharmaceutical composition of claim **33**, wherein R^1 and R^2 are each members independently selected from the group consisting of (C_1-C_8)alkyl, aryl and aryl(C_1-C_8)alkyl.

46. The pharmaceutical composition of claim **43**, wherein R^1 and R^2 are each members independently selected from the group consisting of (C_1-C_8)alkyl, aryl and aryl(C_1-C_8)alkyl.

47. The pharmaceutical composition of claim **33**, wherein R^1 is an optionally substituted phenyl group.

48. The pharmaceutical composition of claim **33**, wherein R^1 is an optionally substituted phenyl group and R^2 is an optionally substituted benzyl group.

49. The pharmaceutical composition of claim **43**, wherein R^1 is an optionally substituted phenyl group.

50. The pharmaceutical composition of claim **43**, wherein R^1 is an optionally substituted phenyl group and R^2 is an optionally substituted benzyl group.

51. The pharmaceutical composition of claim **33**, wherein R^1 is an optionally substituted (C_1-C_8)alkyl or (C_1-C_8)heteroalkyl group and R^2 is an optionally substituted phenyl or benzyl group.

52. The pharmaceutical composition of claim **33**, wherein R^1 is a phenyl group substituted with up to two members selected from the group consisting of $-NHCONH_2$, $-C(NH)NH_2$, $-CONH_2$, $-CH_2NHCO$-(4-nitro-2-pyrazolyl), -CONHPh, $-CH_2NH_2$, $-CH_2NHCO-CH=CH$-(3-nitrophenyl), $-CH_3$, -Cl, -Br, -I, $-CO_2H$, $-CO_2CH_3$, $-OCH_3$, -OH, -Ph, -OPh, $-CON(CH_3)_2$, $-C(CH_3)_3$, $-CH_2NHAc$, -CN and $-CH_2NHCO-CH=CH$-(4-pyridyl).

53. The pharmaceutical composition of claim **43**, wherein R^1 is an optionally substituted (C_1-C_8)alkyl or (C_1-C_8)heteroalkyl group and R^2 is an optionally substituted phenyl or benzyl group.

54. The pharmaceutical composition of claim **43**, wherein R^1 is a phenyl group substituted with up to two members selected from the group consisting of $-NHCONH_2$, $-C(NH)NH_2$, $-CONH_2$, $-CH_2NHCO$-(4-nitro-2-pyrazolyl), -CONHPh, $-CH_2NH_2$, $-CH_2NHCO-CH=CH$-(3-nitrophenyl), $-CH_3$, -Cl, -Br, -I, $-CO_2H$, $-CO_2CH_3$, $-OCH_3$, -OH, -Ph, -OPh, $-CON(CH_3)_2$, $-C(CH_3)_3$, $-CH_2NHAc$, -CN and $-CH_2NHCO-CH=CH$-(4-pyridyl).

55. The pharmaceutical composition of claim **43**, wherein Z is –O-; R^1 is a member selected from the group consisting of an optionally substituted phenyl group or an optionally substituted heteroaryl; and R^2 is a member selected from the group consisting of (C_1-C_8)alkyl, (C_1-C_8)heteroalkyl, aryl(C_1-C_8)alkyl, aryl(C_1-C_8)heteroalkyl, heteroaryl(C_1-C_8)alkyl and heteroaryl(C_1-C_8)heteroalkyl.

56. The pharmaceutical composition of claim **36**, wherein A^1 is an L-α-amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline.

57. The pharmaceutical composition of claim **37**, wherein A^2 is an L-α-amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-*tert*-butylglycine.

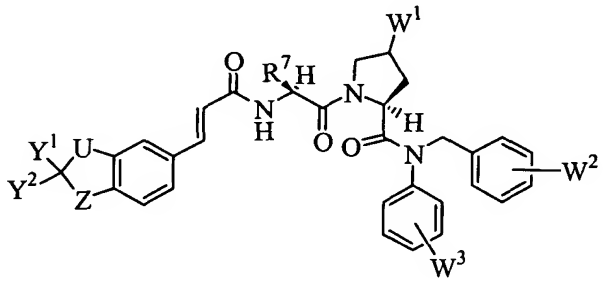
58. The pharmaceutical composition of claim **43**, wherein A^1 is an L-α-amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline; and A^2 is an L-α-amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-*tert*-butylglycine.

59. The pharmaceutical composition of claim **58**, wherein R^1 and R^2 are each members independently selected from the group consisting of substituted or unsubstituted (C_1-C_8)alkyl, substituted or unsubstituted aryl and substituted or unsubstituted aryl(C_1-C_8)alkyl.

60. The pharmaceutical composition of claim **59**, wherein A^1 is an L-α-amino acid fragment derived from L-alanine or L-proline; and A^2 is an L-α-amino acid fragment derived from L-valine, L-leucine, L-isoluecine, or L-*tert*-butylglycine.

61. The pharmaceutical composition of claim **59**, wherein A^1 is an L-α-amino acid fragment derived from L-proline; and A^2 is an L-α-amino acid fragment derived from L-*tert*-butylglycine.

62. The pharmaceutical composition of claim **33**, said compound having the formula:



wherein

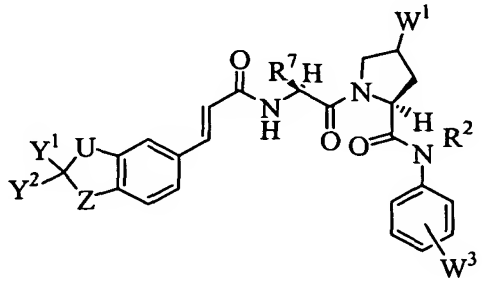
W^1 is a member selected from the group consisting of –H, $–OR^{15}$ and $-NR^{15}R^{16}$;

W^2 and W^3 are each members independently selected from the group consisting of hydrogen, halogen, $-R^{17}$, $-CO_2R^{17}$, $-OR^{17}$, $-NR^{17}R^{18}$ and $-CONR^{17}R^{18}$;

wherein R^{15}, R^{16}, R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8)alkyl, (C_1-C_8)heteroalkyl, aryl(C_1-C_8)alkyl, aryl(C_1-C_8)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of $-CH_2-$, -CH(OH)-, -C(O)-, -O-, -S- and $-N(R^{13})-$.

63. The pharmaceutical composition of claim **33**, said compound having the formula:



wherein

R^2 is a member selected from the group consisting of substituted or unsubstituted (C_1-C_8)alkyl;

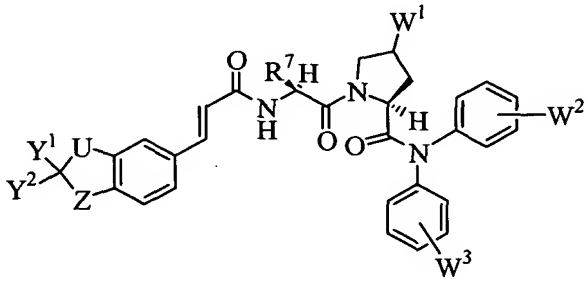
W^1 is a member selected from the group consisting of –H, –OR^{15} and -$NR^{15}R^{16}$;

W^2 is a member selected from the group consisting of hydrogen, halogen, -R^{17}, -CO_2R^{17}, -OR^{17}, -$NR^{17}R^{18}$ and -$CONR^{17}R^{18}$;

wherein R^{15}, R^{16}, R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8)alkyl, (C_1-C_8)heteroalkyl, aryl(C_1-C_8)alkyl, aryl(C_1-C_8)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH_2-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R^{13})-.

64. The pharmaceutical composition of claim **33**, said compound having the formula:



wherein

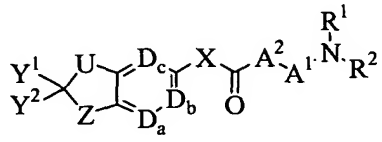
W^1 is a member selected from the group consisting of –H, –OR^{15} and -$NR^{15}R^{16}$;

W^2 and W^3 are each members independently selected from the group consisting of hydrogen, halogen, -R^{17}, -CO_2R^{17}, -OR^{17}, -$NR^{17}R^{18}$ and -$CONR^{17}R^{18}$;

wherein R^{15}, R^{16}, R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, $(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$heteroalkyl, aryl$(C_1\text{-}C_8)$alkyl, aryl$(C_1\text{-}C_8)$heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of $-CH_2-$, -CH(OH)-, -C(O)-, -O-, -S- and $-N(R^{13})-$.

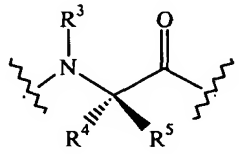
65. A method for modulating a STAT6-dependent condition in a host, comprising administering to said host a STAT6-modulating amount of a compound of the formula:



wherein

R^1 and R^2 are each members independently selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$heteroalkyl, aryl, heteroaryl, aryl$(C_1\text{-}C_8)$alkyl, aryl$(C_1\text{-}C_8)$heteroalkyl, heteroaryl$(C_1\text{-}C_8)$alkyl, and heteroaryl$(C_1\text{-}C_8)$heteroalkyl, with the proviso that at least one of R^1 and R^2 is selected from the group consisting of aryl, heteroaryl, aryl$(C_1\text{-}C_8)$alkyl, aryl$(C_1\text{-}C_8)$heteroalkyl, heteroaryl$(C_1\text{-}C_8)$alkyl and heteroaryl$(C_1\text{-}C_8)$heteroalkyl;

A^1 is a member selected from the group consisting of L-α-amino acid fragments, D-α-amino acid fragments and fragments having the formula:

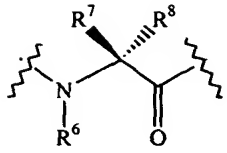


wherein

R^3 is selected from the group consisting of hydrogen and $(C_1\text{-}C_4)$ alkyl;

R^4 and R^5 are each members independently selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$alkyl and $(C_1\text{-}C_8)$heteroalkyl, or can be individually combined with R^3 to form a 5-, 6-, 7- or 8-membered ring containing from one to three heteroatoms;

A^2 is a member selected from the group consisting of L-α-amino acid fragments, D-α-amino acid fragments and fragments having the formula:



wherein

R^6 is selected from the group consisting of hydrogen and $(C_1\text{-}C_4)$alkyl;

R^7 and R^8 are each members independently selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$alkyl and $(C_1\text{-}C_8)$heteroalkyl, or can be combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to three heteroatoms;

X is a member selected from the group consisting of a bond, a $(C_1\text{-}C_4)$ saturated or unsaturated alkylene linking group and a $(C_1\text{-}C_4)$ saturated or unsaturated heteroalkylene linking group;

D_a, D_b and D_c are each independently selected from the group consisting of =N- and $=C(R^9)$-

wherein

each R^9 is independently selected from the group consisting of hydrogen, halogen, cyano, nitro, $(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$heteroalkyl, $(C_1\text{-}C_6)$alkoxy, $(C_1\text{-}C_6)$thioalkoxy, $-NR^{10}R^{11}$, $-C(O)OR^{10}$, $-C(O)NR^{10}R^{11}$, $-O\text{-}C(O)OR^{10}$, $-NR^{11}\text{-}C(O)OR^{10}$, $-NR^{10}\text{-}SO_2R^{12}$, $-NR^{10}\text{-}C(O)R^{11}$, $-SO_2NR^{10}R^{11}$, and $-OC(O)NR^{10}R^{11}$;

wherein

each R^{10} and R^{11} are each independently a member selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$alkyl and $(C_1\text{-}C_8)$heteroalkyl, or when attached to the same nitrogen atom can be combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to three heteroatoms; and

each R^{12} is independently a member selected from the group consisting of $(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$heteroalkyl, aryl and heteroaryl;

U and Z are each independently selected from the group consisting of a single bond, $-CH_2\text{-}$, -CH(OH)-, -C(O)-, $-CH_2O\text{-}$, $-CH_2CH_2\text{-}$, $-CH_2C(O)\text{-}$, -O-, -S-, $-S\text{-}CH_2\text{-}$, $-N(C(O)\text{-}(C_1\text{-}C_9)\text{alkyl})\text{-}$, $-N(R^{13})\text{-}$ and $-N(R^{13})\text{-}CH_2\text{-}$;

wherein

each R^{13} is a member selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$alkyl, aryl and $(C_1\text{-}C_8)$heteroalkyl;

Y^1 and Y^2 are each independently selected from the group consisting of –CO_2H and -CO_2R^{14}; and

R^{14} is a member selected from the group consisting of (C_1-C_9)alkyl, and (C_1-C_9)heteroalkyl, or, alternatively, when Y^1 and Y^2 are each –CO_2R^{14}, each R^{14} and the oxygen to which it is attached, join to form a 5-, 6-, 7- or 8-membered heterocyclic ring.

66. The method of claim **65**, wherein D_a, D_b and D_c are each =CH-.

67. The method of claim **65**, wherein X is a (C_2-C_4) unsaturated alkylene linking group.

68. The method of claim **65**, wherein A^1 is selected from the group consisting of L-α-amino acid fragments.

69. The method of claim **65**, wherein A^2 is selected from the group consisting of L-α-amino acid fragments.

70. The method of claim **65**, wherein A^1 and A^2 are each independently selected from the group consisting of L-α-amino acid fragments.

71. The method of claim **65**, wherein A^1 and A^2 are each independently selected from the group consisting of L-α-amino acid fragments; X is a (C_2-C_4) unsaturated alkylene linking group; and D_a, D_b and D_c are each =CH-.

72. The method of claim **65**, wherein U is selected from the group consisting of –CH_2- and –CH(OH)-.

73. The method of claim **65**, wherein Z is selected from the group consisting of –CH_2-, -O-, -NH- and –S-.

74. The method of claim **65**, wherein U is selected from the group consisting of –CH_2- and –CH(OH)-; and Z is selected from the group consisting of -CH_2-, -O-, -NH- and –S-.

75. The method of claim **65**, wherein A^1 and A^2 are each independently selected from the group consisting of a natural or unnatural L-α-amino acid fragments; X is a (C_2-C_4) unsaturated alkylene linking group; D_a, D_b and D_c are each =CH-; U is selected from

the group consisting of –CH_2- and –CH(OH)-; and Z is selected from the group consisting of -CH_2-, -O-, -NH- and –S-.

76. The method of claim **75**, wherein X is an unsaturated alkylene moiety selected from the group consisting of -$C(CH_3)$=CH and -CH=$C(CH_3)$.

77. The method of claim **65**, wherein R^1 and R^2 are each members independently selected from the group consisting of (C_1-C_8)alkyl, aryl and aryl(C_1-C_8)alkyl.

78. The method of claim **75**, wherein R^1 and R^2 are each members independently selected from the group consisting of (C_1-C_8)alkyl, aryl and aryl(C_1-C_8)alkyl.

79. The method of claim **65**, wherein R^1 is an optionally substituted phenyl group.

80. The method of claim **65**, wherein R^1 is an optionally substituted phenyl group and R^2 is an optionally substituted benzyl group.

81. The method of claim **75**, wherein R^1 is an optionally substituted phenyl group.

82. The method of claim **75**, wherein R^1 is an optionally substituted phenyl group and R^2 is an optionally substituted benzyl group.

83. The method of claim **65**, wherein R^1 is an optionally substituted (C_1-C_8)alkyl or (C_1-C_8)heteroalkyl group and R^2 is an optionally substituted phenyl or benzyl group.

84. The method of claim **65**, wherein R^1 is a phenyl group substituted with up to two members selected from the group consisting of –$NHCONH_2$, –$C(NH)NH_2$, -$CONH_2$, -CH_2NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH_2NH_2, -CH_2NHCO-CH=CH-(3-nitrophenyl), -CH_3, -Cl, -Br, -I, -CO_2H, -CO_2CH_3, -OCH_3, -OH, -Ph, -OPh, -$CON(CH_3)_2$, -$C(CH_3)_3$, -CH_2NHAc, -CN and -CH_2NHCO-CH=CH-(4-pyridyl).

85. The method of claim **75**, wherein R^1 is an optionally substituted (C_1-C_8)alkyl or (C_1-C_8)heteroalkyl group and R^2 is an optionally substituted phenyl or benzyl group.

86. The method of claim **75**, wherein R^1 is a phenyl group substituted with up to two members selected from the group consisting of –$NHCONH_2$, –$C(NH)NH_2$, -$CONH_2$, -CH_2NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH_2NH_2, -CH_2NHCO-CH=CH-(3-nitrophenyl), -CH_3, -Cl, -Br, -I, -CO_2H, -CO_2CH_3, -OCH_3, -OH, -Ph, -OPh, -$CON(CH_3)_2$, -$C(CH_3)_3$, -CH_2NHAc, -CN and -CH_2NHCO-CH=CH-(4-pyridyl).

87. The method of claim **75**, wherein Z is –O-; R^1 is a member selected from the group consisting of an optionally substituted phenyl group or an optionally substituted heteroaryl; and R^2 is a member selected from the group consisting of $(C_1$-$C_8)$alkyl, $(C_1$-$C_8)$heteroalkyl, aryl$(C_1$-$C_8)$alkyl, aryl$(C_1$-$C_8)$heteroalkyl, heteroaryl$(C_1$-$C_8)$alkyl and heteroaryl$(C_1$-$C_8)$heteroalkyl.

88. The method of claim **68**, wherein A^1 is an L-α-amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline.

89. The method of claim **69**, wherein A^2 is an L-α-amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-*tert*-butylglycine.

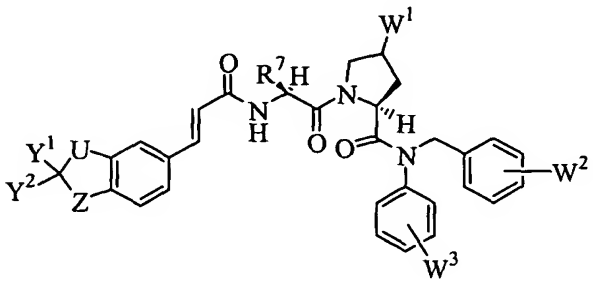
90. The method of claim **75**, wherein A^1 is an L-α-amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline; and A^2 is an L-α-amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-*tert*-butylglycine.

91. The method of claim **90**, wherein R^1 and R^2 are each members independently selected from the group consisting of substituted or unsubstituted $(C_1$-$C_8)$alkyl, substituted or unsubstituted aryl and substituted or unsubstituted aryl$(C_1$-$C_8)$alkyl.

92. The method of claim **91**, wherein A^1 is an L-α-amino acid fragment derived from L-alanine or L-proline; and A^2 is an L-α-amino acid fragment derived from L-valine, L-leucine, L-isoluecine, or L-*tert*-butylglycine.

93. The method of claim **91**, wherein A^1 is an L-α-amino acid fragment derived from L-proline; and A^2 is an L-α-amino acid fragment derived from L-*tert*-butylglycine.

94. The method of claim **65**, wherein said compound has the formula:



wherein

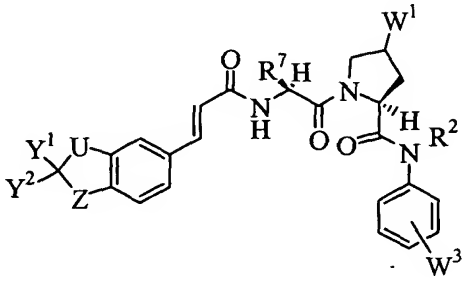
W^1 is a member selected from the group consisting of –H, –OR^{15} and -$NR^{15}R^{16}$;

W^2 and W^3 are each members independently selected from the group consisting of hydrogen, halogen, -R^{17}, -CO_2R^{17}, -OR^{17}, -$NR^{17}R^{18}$ and -$CONR^{17}R^{18}$;

wherein R^{15}, R^{16}, R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8)alkyl, (C_1-C_8)heteroalkyl, aryl(C_1-C_8)alkyl, aryl(C_1-C_8)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH_2-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R^{13})-.

95. The method of claim **65**, wherein said compound has the formula:



wherein

R^2 is a member selected from the group consisting of substituted or unsubstituted (C_1-C_8)alkyl;

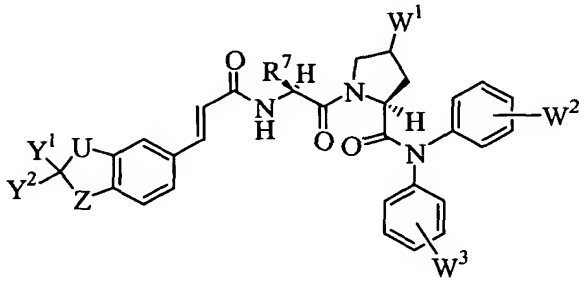
W^1 is a member selected from the group consisting of –H, –OR^{15} and -$NR^{15}R^{16}$;

W^2 is a member selected from the group consisting of hydrogen, halogen, -R^{17}, -CO_2R^{17}, -OR^{17}, -$NR^{17}R^{18}$ and -$CONR^{17}R^{18}$;

wherein R^{15}, R^{16}, R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, $(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$heteroalkyl, aryl$(C_1\text{-}C_8)$alkyl, aryl$(C_1\text{-}C_8)$heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of $-CH_2-$, -CH(OH)-, -C(O)-, -O-, -S- and $-N(R^{13})-$.

96. The method of claim **65**, wherein said compound has the formula:



wherein

W^1 is a member selected from the group consisting of –H, $–OR^{15}$ and $-NR^{15}R^{16}$;

W^2 and W^3 are each members independently selected from the group consisting of hydrogen, halogen, $-R^{17}$, $-CO_2R^{17}$, $-OR^{17}$, $-NR^{17}R^{18}$ and $-CONR^{17}R^{18}$;

wherein R^{15}, R^{16}, R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, $(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$heteroalkyl, aryl$(C_1\text{-}C_8)$alkyl, aryl$(C_1\text{-}C_8)$heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of $-CH_2-$, -CH(OH)-, -C(O)-, -O-, -S- and $-N(R^{13})-$.

97. A method in accordance with claim **65,** wherein said STAT6-dependent condition is selected from the group consisting of allergic rhinitis, asthma, atopic dermatitis, contact dermatitis, anaphylaxis, food or drug induced allergy, conjunctivitis, uveitis, hypersensitivity reactions, alveolitis, psoriasis, Churg-Strauss syndrome, delayed-type hypersensitivity, urticaria, angiodema, eczema, scleroderma, and systemic lupus erythematosus.

98. A method for treating a condition in a host, comprising administering to said host an effective amount of a compound of claim **1**, wherein said condition is selected from the group consisting of allergic rhinitis, asthma, atopic dermatitis, contact dermatitis, anaphylaxis, food or drug induced allergy, conjunctivitis, uveitis, hypersensitivity reactions,

alveolitis, psoriasis, Churg-Strauss syndrome, delayed-type hypersensitivity, urticaria, angiodema, eczema, scleroderma, and systemic lupus erythematosus.

99. The method in accordance with claim **98**, wherein said compound of claim **1** is administered in combination with a second therapeutic agent.

100. The method in accordance with claim **99**, wherein said second therapeutic agent is selected from the group consisting of loratidine, fluticasone propionate, beclametasone diproprionate, budesonide, salmeterol xinafoate, ipratropium bromide, fexofenadine hydrochloride, cetirizine dihydrochloride, triamcinolone acetonide, cromolyn, salbutamol, montelukast sodium, ketotifen hydrogen fumarate, formoterol, zafirlukast, momefasone furoate, azelastine hydrochloride, epinastine, seratrodast, captropril, rampril, zofenopril, colchicine, enalapril, lisinopril, trandolapril, gold sodium thiomalate, calcipotriene, cyclosporine, vinblastine and dapsone.

101. The method in accordance with claim **99**, wherein said compound of claim 1 and said second therapeutic agent are administered sequentially.

102. A method in accordance with claim **99**, wherein said compound of claim 1 and said second therapeutic agent are administered concurrently.

103. A method in accordance with claim **99**, wherein said compound of claim **1** and said second therapeutic agent are each administered at dosages of from 1/100 to 1/2 of their dosages when administered individually.

104. A method in accordance with claim **99**, wherein said compound of claim 1 and said second therapeutic agent are each administered at dosages of from 1/10 to 1/4 of their dosages when administered individually.